

This Page Is Inserted by IFW Operations
and is not a part of the Official Record

BEST AVAILABLE IMAGES

Defective images within this document are accurate representations of the original documents submitted by the applicant.

Defects in the images may include (but are not limited to):

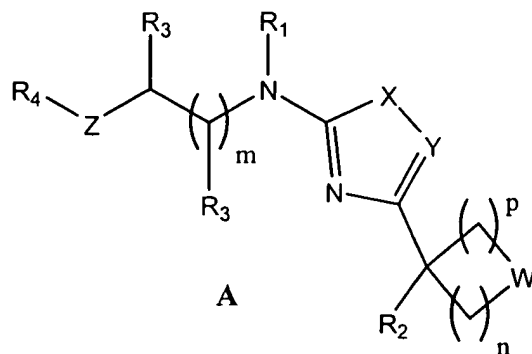
- BLACK BORDERS
- TEXT CUT OFF AT TOP, BOTTOM OR SIDES
- FADED TEXT
- ILLEGIBLE TEXT
- SKEWED/SLANTED IMAGES
- COLORED PHOTOS
- BLACK OR VERY BLACK AND WHITE DARK PHOTOS
- GRAY SCALE DOCUMENTS

IMAGES ARE BEST AVAILABLE COPY.

**As rescanning documents *will not* correct images,
please do not report the images to the
Image Problem Mailbox.**

We claim:

1. A compound represented by A:



wherein

m is 0, 1, 2, or 3;

n is 0, 1, 2, or 3;

p is 1, 2, or 3;

W represents CH₂, O, or NR;

X represents S or O;

Y represents CR', or N;

Z represents N(R₅) or O;

R represents H or alkyl;

R' represents H, alkyl, or halogen;

R₁ represents H or alkyl;

R₂ represents aryl or heteroaryl;

R₃ represents independently for each occurrence H, alkyl, alkoxy, or alkylamino;

R₄ represents H or alkyl;

R₅ represents H, alkyl, aryl, or aralkyl;

R₁ and R₃ may be connected through a covalent bond;

R₁ and R₄ may be connected through a covalent bond;

R₃ and R₄ may be connected through a covalent bond;

R₃ and R₅ may be connected through a covalent bond;

R₄ and R₅ may be connected through a covalent bond; or -N(R₄)(R₅) represents 4-morpholinyl, or 4-alkyl-1,4-piperazin-1-yl; and

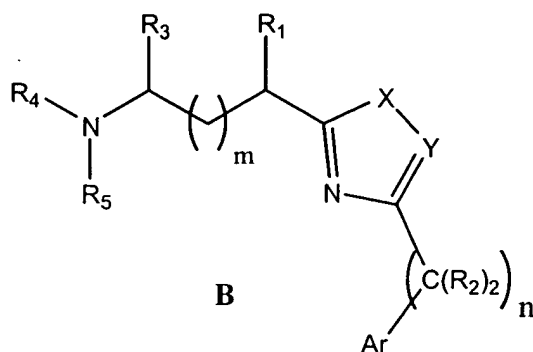
the stereochemical configuration at a stereocenter in a compound represented by A is *R*, *S*, or a mixture thereof.

2. The compound of claim 1, wherein X represents S.
3. The compound of claim 1, wherein Y represents CR'.
4. The compound of claim 1, wherein Z represents N(R₅).
5. The compound of claim 1, wherein W represents CH₂ or O.
6. The compound of claim 1, wherein n is 1 or 2; and p is 2.
7. The compound of claim 1, wherein R' represents H.
8. The compound of claim 1, wherein R₂ represents phenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, or 5-chlorobenzo[b]thiophen-3-yl.
9. The compound of claim 1, wherein R₅ represents H or alkyl.
10. The compound of claim 1, wherein X represents S; and Y represents CR'.
11. The compound of claim 1, wherein X represents S; Y represents CR'; and Z represents N(R₅).
12. The compound of claim 1, wherein X represents S; Y represents CR'; Z represents N(R₅); and W represents CH₂ or O.
13. The compound of claim 1, wherein X represents S; Y represents CR'; Z represents N(R₅); W represents CH₂ or O; n is 1 or 2; and p is 2.
14. The compound of claim 1, wherein X represents S; Y represents CR'; Z represents N(R₅); W represents CH₂ or O; n is 1 or 2; p is 2; and R' represents H.

15. The compound of claim 1, wherein X represents S; Y represents CR'; Z represents N(R₅); W represents CH₂ or O; n is 1 or 2; p is 2; R' represents H; and R₂ represents phenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, or 5-chlorobenzo[b]thiophen-3-yl.

16. The compound of claim 1, wherein X represents S; Y represents CR'; Z represents N(R₅); W represents CH₂ or O; n is 1 or 2; p is 2; R' represents H; R₂ represents phenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, or 5-chlorobenzo[b]thiophen-3-yl; and R₅ represents H or alkyl.

17. A compound represented by **B**:



wherein

m is 0, 1, 2, or 3;

n is 0, 1, 2, or 3;

X represents S or O;

Y represents CR', or N;

Ar represents aryl or heteroaryl;

R' represents H, alkyl, or halogen;

R₁ represents H or alkyl;

R₂ represents independently for each occurrence H, alkyl, aryl, or heteroaryl;

R₃ represents H or alkyl;

R₄ represents H or alkyl;

R₅ represents H or alkyl;

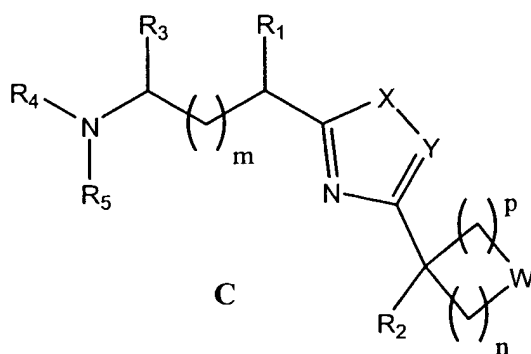
R₁ and R₄ may be connected through a covalent bond;

R_3 and R_4 may be connected through a covalent bond;

R_4 and R_5 may be connected through a covalent bond; or $-N(R_4)(R_5)$ represents 4-morpholinyl; and

the stereochemical configuration at a stereocenter in a compound represented by **B** is *R*, *S*, or a mixture thereof.

18. The compound of claim 17, wherein X represents S.
19. The compound of claim 17, wherein Y represents CR'.
20. The compound of claim 17, wherein R' represents H.
21. The compound of claim 17, wherein Ar represents phenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, or 5-chlorobenzo[b]thiophen-3-yl.
22. The compound of claim 17, wherein X represents S; and Y represents CR'.
23. The compound of claim 17, wherein X represents S; Y represents CR'; and R' represents H.
24. The compound of claim 17, wherein X represents S; Y represents CR'; R' represents H; and Ar represents phenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, or 5-chlorobenzo[b]thiophen-3-yl.
25. A compound represented by **C**:



wherein

m is 0, 1, 2, or 3;

n is 0, 1, 2, or 3;

p is 1, 2, or 3;

W represents CH₂, O, or NR;

X represents S or O;

Y represents CR', or N;

R represents H or alkyl;

R' represents H, alkyl, or halogen;

R₁ represents H or alkyl;

R₂ represents aryl, or heteroaryl;

R₃ represents H or alkyl;

R₄ represents H or alkyl;

R₅ represents H or alkyl;

R₁ and R₄ may be connected through a covalent bond;

R₃ and R₄ may be connected through a covalent bond;

R₄ and R₅ may be connected through a covalent bond; or -N(R₄)(R₅) represents 4-morpholinyl; and

the stereochemical configuration at a stereocenter in a compound represented by C is *R*, *S*, or a mixture thereof.

26. The compound of claim 25, wherein X represents S.
27. The compound of claim 25, wherein Y represents CR'.
28. The compound of claim 25, wherein W represents CH₂ or O.
29. The compound of claim 25, wherein n is 1 or 2; and p is 2.
30. The compound of claim 25, wherein R' represents H.
31. The compound of claim 25, wherein R₂ represents phenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, or 5-chlorobenzo[b]thiophen-3-yl.
32. The compound of claim 25, wherein X represents S; and Y represents CR'.

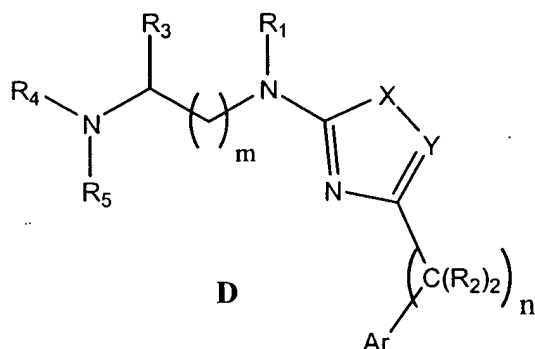
33. The compound of claim 25, wherein X represents S; Y represents CR'; and W represents CH₂ or O.

34. The compound of claim 25, wherein X represents S; Y represents CR'; W represents CH₂ or O; n is 1 or 2; and p is 2.

35. The compound of claim 25, wherein X represents S; Y represents CR'; W represents CH₂ or O; n is 1 or 2; p is 2; and R' represents H.

36. The compound of claim 25, wherein X represents S; Y represents CR'; W represents CH₂ or O; n is 1 or 2; p is 2; R' represents H; and R₂ represents phenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, or 5-chlorobenzo[b]thiophen-3-yl.

37. A compound represented by **D**:



wherein

m is 0, 1, 2, or 3;

n is 1, 2, or 3;

X represents S or O;

Y represents CR', or N;

Ar represents heteroaryl;

R' represents H, alkyl, or halogen;

R₁ represents H or alkyl;

R₂ represents independently for each occurrence H, alkyl, aryl, or heteroaryl;

R₃ represents H or alkyl;

R₄ represents H or alkyl;

R₅ represents H or alkyl;

R₁ and R₄ may be connected through a covalent bond;

R₃ and R₄ may be connected through a covalent bond;

R₄ and R₅ may be connected through a covalent bond; or -N(R₄)(R₅) represents 4-morpholinyl; and

the stereochemical configuration at a stereocenter in a compound represented by **D** is *R*, *S*, or a mixture thereof.

38. The compound of claim 37, wherein X represents S.
39. The compound of claim 37, wherein Y represents CR'.
40. The compound of claim 37, wherein R' represents H.
41. The compound of claim 37, wherein Ar represents 5-chlorobenzo[b]thiophen-3-yl.
42. The compound of claim 37, wherein X represents S; and Y represents CR'.
43. The compound of claim 37, wherein X represents S; Y represents CR'; and R' represents H.
44. The compound of claim 37, wherein X represents S; Y represents CR'; R' represents H; and Ar represents 5-chlorobenzo[b]thiophen-3-yl.
45. The compound of claim 1, 17, 25, or 37, wherein said compound has an IC₅₀ less than 1 μ M in an assay based on a mammalian dopamine, muscarinic or serotonin receptor or transporter.
46. The compound of claim 1, 17, 25, or 37, wherein said compound has an IC₅₀ less than 100 nM in an assay based on a mammalian dopamine, muscarinic or serotonin receptor or transporter.
47. The compound of claim 1, 17, 25, or 37, wherein said compound has an IC₅₀ less than 10 nM in an assay based on a mammalian dopamine, muscarinic or serotonin receptor or transporter.

48. The compound of claim 1, 17, 25, or 37, wherein said compound has an EC_{50} less than 1 μM in an assay based on a mammalian dopamine, muscarinic or serotonin receptor or transporter.
49. The compound of claim 1, 17, 25, or 37, wherein said compound has an EC_{50} less than 100 nM in an assay based on a mammalian dopamine, muscarinic or serotonin receptor or transporter.
50. The compound of claim 1, 17, 25, or 37, wherein said compound has an EC_{50} less than 10 nM in an assay based on a mammalian dopamine, muscarinic or serotonin receptor or transporter.
51. The compound of claim 1, 17, 25, or 37, wherein said compound has an IC_{50} less than 1 μM in an assay based on a mammalian dopamine, muscarinic or serotonin receptor.
52. The compound of claim 1, 17, 25, or 37, wherein said compound has an IC_{50} less than 100 nM in an assay based on a mammalian dopamine, muscarinic or serotonin receptor.
53. The compound of claim 1, 17, 25, or 37, wherein said compound has an IC_{50} less than 10 nM in an assay based on a mammalian dopamine, muscarinic or serotonin receptor.
54. The compound of claim 1, 17, 25, or 37, wherein said compound has an EC_{50} less than 1 μM in an assay based on a mammalian dopamine, muscarinic or serotonin receptor.
55. The compound of claim 1, 17, 25, or 37, wherein said compound has an EC_{50} less than 100 nM in an assay based on a mammalian dopamine, muscarinic or serotonin receptor.
56. The compound of claim 1, 17, 25, or 37, wherein said compound has an EC_{50} less than 10 nM in an assay based on a mammalian dopamine, muscarinic or serotonin receptor.
57. The compound of claim 1, 17, 25, or 37, wherein said compound is a single stereoisomer.
58. A formulation, comprising a compound of claim 1, 17, 25, or 37; and a pharmaceutically acceptable excipient.
59. A method of modulating the activity of a dopamine, muscarinic or serotonin receptor or transporter in a mammal, comprising the step of:

() ()

administering to said mammal a therapeutically effective amount of a compound of claim 1, 17, 25, or 37.

- 60. The method of claim 59, wherein said mammal is a primate, equine, canine or feline.
- 61. The method of claim 59, wherein said mammal is a human.
- 62. The method of claim 59, wherein said compound is administered by inhalation.
- 63. The method of claim 59, wherein said compound is administered orally.
- 64. The method of claim 59, wherein said compound is administered intravenously.
- 65. The method of claim 59, wherein said compound is administered sublingually.
- 66. The method of claim 59, wherein said compound is administered ocularly.
- 67. The method of claim 59, wherein said compound is administered transdermally.
- 68. The method of claim 59, wherein said compound is administered rectally.
- 69. The method of claim 59, wherein said compound is administered vaginally.
- 70. The method of claim 59, wherein said compound is administered topically.
- 71. The method of claim 59, wherein said compound is administered intramuscularly.
- 72. The method of claim 59, wherein said compound is administered subcutaneously.
- 73. The method of claim 59, wherein said compound is administered buccally.
- 74. The method of claim 59, wherein said compound is administered nasally.
- 75. A method of modulating the activity of a dopamine, muscarinic or serotonin receptor in a mammal, comprising the step of:

administering to said mammal a therapeutically effective amount of a compound of claim 1, 17, 25, or 37.

- 76. The method of claim 75, wherein said mammal is a primate, equine, canine or feline.
- 77. The method of claim 75, wherein said mammal is a human.
- 78. The method of claim 75, wherein said compound is administered by inhalation.
- 79. The method of claim 75, wherein said compound is administered orally.

80. The method of claim 75, wherein said compound is administered intravenously.
81. The method of claim 75, wherein said compound is administered sublingually.
82. The method of claim 75, wherein said compound is administered ocularly.
83. The method of claim 75, wherein said compound is administered transdermally.
84. The method of claim 75, wherein said compound is administered rectally.
85. The method of claim 75, wherein said compound is administered vaginally.
86. The method of claim 75, wherein said compound is administered topically.
87. The method of claim 75, wherein said compound is administered intramuscularly.
88. The method of claim 75, wherein said compound is administered subcutaneously.
89. The method of claim 75, wherein said compound is administered buccally.
90. The method of claim 75, wherein said compound is administered nasally.
91. A method of treating a mammal suffering from addiction, anxiety, depression, sexual dysfunction, hypertension, migraine, Alzheimer's disease, obesity, emesis, psychosis, analgesia, schizophrenia, Parkinson's disease, restless leg syndrome, sleeping disorders, attention deficit hyperactivity disorder, irritable bowel syndrome, premature ejaculation, menstrual dysphoria syndrome, urinary incontinence, inflammatory pain, neuropathic pain, Lesche-Nyhan disease, Wilson's disease, Tourette's syndrome, psychiatric disorders, stroke, senile dementia, peptic ulcers, pulmonary obstruction disorders, or asthma, comprising the step of:

administering to said mammal a therapeutically effective amount of a compound of claim 1, 17, 25, or 37.

92. The method of claim 91, wherein said mammal is a primate, equine, canine or feline.
93. The method of claim 91, wherein said mammal is a human.
92. The method of claim 91, wherein said compound is administered by inhalation.
94. The method of claim 91, wherein said compound is administered orally.
95. The method of claim 91, wherein said compound is administered intravenously.
96. The method of claim 91, wherein said compound is administered sublingually.

97. The method of claim 91, wherein said compound is administered ocularly.
98. The method of claim 91, wherein said compound is administered transdermally.
99. The method of claim 91, wherein said compound is administered rectally.
100. The method of claim 91, wherein said compound is administered vaginally.
101. The method of claim 91, wherein said compound is administered topically.
102. The method of claim 91, wherein said compound is administered intramuscularly.
103. The method of claim 91, wherein said compound is administered subcutaneously.
104. The method of claim 91, wherein said compound is administered buccally.
105. The method of claim 91, wherein said compound is administered nasally.